



SEQUENCE LISTING

#10  
RECEIVED  
AUG 15 2002  
TECH CENTER 1600 1900

<110> Hubbell, Jeffrey A.  
Elbert, Donald  
Schoenmakers, Ronald

<120> CONJUGATE ADDITION REACTIONS FOR THE  
CONTROLLED DELIVERY OF PHARMACEUTICALLY ACTIVE COMPOUNDS

<130> 50154/003001

<140> US 09/586,937

<141> 2000-06-02

<150> US 09/496,231

<151> 2000-02-01

<150> US 60/118,093

<151> 1999-02-01

<160> 75

<170> FastSEQ for Windows Version 4.0

<210> 1

<211> 10

<212> PRT

<213> Artificial Sequence

<220>

<223> Based on Homo sapiens

<221> VARIANT

<222> (1)...(10)

<223> Xaa=any amino acid except Cys

<400> 1

Tyr Cys Xaa Xaa Xaa Xaa Xaa Xaa Cys Tyr  
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<210> 2

<211> 8

<212> PRT

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<220>

<223> Based on Homo sapiens

<221> VARIANT

<222> (1)...(8)

<223> Xaa=any amino acid except Cys

<400> 2

Cys Xaa Xaa Xaa Xaa Xaa Xaa Cys

1

5

<210> 3  
<211> 6  
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<220>  
<223> Based on Homo sapiens

<221> VARIANT  
<222> (1)...(6)  
<223> Xaa=any amino acid except Cys

<400> 3  
Xaa Xaa Xaa Xaa Xaa Xaa  
1 5

<210> 4  
<211> 13  
<212> PRT  
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<220>  
<223> Based on Homo sapiens

<221> VARIANT  
<222> (1)...(13)  
<223> Xaa=any amino acid except Cys

<400> 4  
Cys Xaa Xaa Xaa Xaa Xaa Cys Xaa Xaa Xaa Xaa Cys  
1 5 10

<210> 5  
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<212> PRT  
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<220>  
<223> Based on Homo sapiens

<221> VARIANT  
<222> (1)...(7)  
<223> Xaa=any amino acid except Cys

<400> 5  
Cys Xaa Xaa Xaa Xaa Cys  
1 5

<210> 6  
<211> 13  
<212> PRT  
<213> Artificial Sequence

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<220>  
 <223> Based on Homo sapiens  
  
 <221> VARIANT  
 <222> (2)...(6)  
 <223> Xaa=any amino acid except Cys or Tyr  
  
 <221> VARIANT  
 <222> (8)...(12)  
 <223> Xaa=any amino acid except Cys or Tyr  
  
 <221> MOD\_RES  
 <222> 1  
 <223> Xaa=acetylated Tyrosine  
  
 <400> 6  
 Xaa Xaa Xaa Xaa Xaa Xaa Tyr Xaa Xaa Xaa Xaa Tyr  
   1                  5                  10  
  
 <210> 7  
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 <223> Based on Homo sapiens  
  
 <221> VARIANT  
 <222> (1)...(5)  
 <223> Xaa=any amino acid except Cys or Tyr  
  
 <400> 7  
 Xaa Xaa Xaa Xaa Xaa  
   1                  5  
  
 <210> 8  
 <211> 6  
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 Gly Pro Arg Val Val Glu  
   1                  5  
  
 <210> 9  
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 <212> PRT  
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 <220>  
 <223> Based on Homo sapiens

<400> 9  
Asn Asn Arg Asp Asn Thr  
1 5

<210> 10  
<211> 6  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<400> 10  
Tyr Asn Arg Val Ser Glu  
1 5

<210> 11  
<211> 6  
<212> PRT  
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<220>  
<223> Based on Homo sapiens

<400> 11  
Gln Met Arg Met Glu Leu  
1 5

<210> 12  
<211> 6  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<400> 12  
Gly Phe Arg His Arg His  
1 5

<210> 13  
<211> 6  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<400> 13  
Gly Tyr Arg Ala Arg Pro  
1 5

<210> 14

<211> 6  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<400> 14  
Tyr Gln Lys Asn Asn Lys  
1 5

<210> 15  
<211> 6  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<400> 15  
Leu Ile Lys Met Lys Pro  
1 5

<210> 16  
<211> 6  
<212> PRT  
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<220>  
<223> Based on Homo sapiens

<400> 16  
Asn Phe Lys Ser Gln Leu  
1 5

<210> 17  
<211> 6  
<212> PRT  
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<220>  
<223> Based on Homo sapiens

<400> 17  
Glu Trp Lys Ala Leu Thr  
1 5

<210> 18  
<211> 6  
<212> PRT  
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<220>  
<223> Based on Homo sapiens

<400> 18  
Ser Tyr Lys Met Ala Asp  
1 5

<210> 19  
<211> 6  
<212> PRT  
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<220>  
<223> Based on Homo sapiens

<400> 19  
Thr Gln Lys Lys Val Glu  
1 5

<210> 20  
<211> 6  
<212> PRT  
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<220>  
<223> Based on Homo sapiens

<400> 20  
Arg Gln Lys Gln Val Lys  
1 5

<210> 21  
<211> 6  
<212> PRT  
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<220>  
<223> Based on Homo sapiens

<400> 21  
Gln Val Lys Asp Asn Glu  
1 5

<210> 22  
<211> 6  
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<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<400> 22  
Leu Ile Lys Ala Ile Gln  
1 5

<210> 23

<211> 6  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<400> 23  
Thr Leu Lys Ser Arg Lys  
1 5

<210> 24  
<211> 6  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<400> 24  
Ser Arg Lys Met Leu Glu  
1 5

<210> 25  
<211> 6  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens, Bos taurus and Gallus  
gallus

<400> 25  
Pro Gln Gly Ile Ala Gly  
1 5

<210> 26  
<211> 6  
<212> PRT  
<213> Bos taurus

<400> 26  
Pro Gln Gly Leu Leu Gly  
1 5

<210> 27  
<211> 6  
<212> PRT  
<213> Gallus gallus

<400> 27  
Pro Gln Gly Ile Leu Gly  
1 5

<210> 28  
<211> 6  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Gallus gallus and Homo sapiens

<400> 28  
Pro Gln Gly Leu Ala Gly  
1 5

<210> 29  
<211> 6  
<212> PRT  
<213> Homo sapiens

<400> 29  
Pro Leu Gly Ile Ala Gly  
1 5

<210> 30  
<211> 6  
<212> PRT  
<213> Homo sapiens

<400> 30  
Pro Leu Gly Leu Trp Ala  
1 5

<210> 31  
<211> 6  
<212> PRT  
<213> Homo sapiens

<400> 31  
Pro Leu Gly Leu Ala Gly  
1 5

<210> 32  
<211> 8  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<400> 32  
Gly Pro Gln Gly Ile Ala Gly Gln  
1 5

<210> 33  
<211> 8



<212> PRT  
<213> Artificial Sequence  
  
<220>  
<223> Based on Homo sapiens  
  
<400> 33  
Gly Pro Val Gly Ile Ala Gly Gln  
1 5

<210> 34  
<211> 8  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens  
  
<400> 34  
Gly Pro Gln Gly Val Ala Gly Gln  
1 5

<210> 35  
<211> 8  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens  
  
<400> 35  
Gly Pro Gln Gly Arg Ala Gly Gln  
1 5

<210> 36  
<211> 8  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens  
  
<400> 36  
Gly Pro Gln Gly Ile Ala Ser Gln  
1 5

<210> 37  
<211> 8  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<400> 37  
Gly Pro Gln Gly Ile Phe Gly Gln  
1 5

<210> 38  
<211> 8  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<400> 38  
Gly Pro Gln Gly Ile Trp Gly Gln  
1 5

<210> 39  
<211> 4  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<400> 39  
Arg Gly Asp Ser  
1

<210> 40  
<211> 4  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<400> 40  
Arg Glu Asp Val  
1

<210> 41  
<211> 4  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<400> 41  
Arg Gly Asp Val  
1

<210> 42

<211> 5  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<400> 42  
Leu Arg Gly Asp Asn  
1 5

<210> 43  
<211> 5  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<400> 43  
Ile Lys Val Ala Val  
1 5

<210> 44  
<211> 5  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<400> 44  
Tyr Ile Gly Ser Arg  
1 5

<210> 45  
<211> 5  
<212> PRT  
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<220>  
<223> Based on Homo sapiens

<400> 45  
Pro Asp Ser Gly Arg  
1 5

<210> 46  
<211> 10  
<212> PRT  
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<220>  
<223> Based on Homo sapiens

<400> 46  
Arg Asn Ile Ala Glu Ile Ile Lys Asp Ala  
1 5 10

<210> 47  
<211> 4  
<212> PRT  
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<220>  
<223> Based on Homo sapiens

<400> 47  
Arg Gly Asp Thr  
1

<210> 48  
<211> 4  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<400> 48  
Asp Gly Glu Ala  
1

<210> 49  
<211> 4  
<212> PRT  
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<220>  
<223> Based on Homo sapiens

<221> VARIANT  
<222> (1)...(4)  
<223> Xaa=any amino acid

<400> 49  
Val Thr Xaa Gly  
1

<210> 50  
<211> 6  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<221> VARIANT  
<222> 1,4,6

<223> Xaa=Met, Leu, Ala, Ile, Val, Phe, or Pro

<221> VARIANT

<222> 2,3,5

<223> Xaa=Arg or Lys

<400> 50

Xaa Xaa Xaa Xaa Xaa Xaa  
1 5

<210> 51

<211> 6

<212> PRT

<213> Artificial Sequence

<220>

<223> Based on Homo sapiens

<400> 51

Pro Arg Arg Ala Arg Val  
1 5

<210> 52

<211> 19

<212> PRT

<213> Artificial Sequence

<220>

<223> Based on Homo sapiens

<400> 52

Tyr Glu Lys Pro Gly Ser Pro Pro Arg Glu Val Val Pro Arg Pro Arg  
1 5 10 15  
Pro Gly Val

<210> 53

<211> 28

<212> PRT

<213> Artificial Sequence

<220>

<223> Based on Homo sapiens

<400> 53

Arg Pro Ser Leu Ala Lys Lys Gln Arg Phe Arg His Arg Asn Arg Lys  
1 5 10 15  
Gly Tyr Arg Ser Gln Arg Gly His Ser Arg Gly Arg  
20 25

<210> 54

<211> 17

<212> PRT

<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<400> 54  
Arg Ile Gln Asn Leu Leu Lys Ile Thr Asn Leu Arg Ile Lys Phe Val  
1 5 10 15  
Lys

<210> 55  
<211> 14  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<221> MOD\_RES  
<222> 2  
<223> Xaa=bAla

<400> 55  
Lys Xaa Phe Ala Lys Leu Ala Ala Arg Leu Tyr Arg Lys Ala  
1 5 10

<210> 56  
<211> 14  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<400> 56  
Lys His Lys Gly Arg Asp Val Ile Leu Lys Lys Asp Val Arg  
1 5 10

<210> 57  
<211> 8  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<400> 57  
Tyr Lys Lys Ile Ile Lys Lys Leu  
1 5

<210> 58  
<211> 9  
<212> PRT  
<213> Artificial Sequence

<220>

<223> Based on Homo sapiens

<400> 58

Gly Cys Tyr Lys Asn Arg Asp Cys Gly  
1 5

<210> 59

<211> 16

<212> PRT

<213> Artificial Sequence

<220>

<223> Based on Homo sapiens

<400> 59

Gly Cys Asp Asp Gly Pro Gln Gly Ile Trp Gly Gln Asp Asp Cys Gly  
1 5 10 15

<210> 60

<211> 16

<212> PRT

<213> Artificial Sequence

<220>

<223> Based on Homo sapiens

<400> 60

Gly Cys Arg Asp Gly Pro Gln Gly Ile Trp Gly Gln Asp Arg Cys Gly  
1 5 10 15

<210> 61

<211> 11

<212> PRT

<213> Artificial Sequence

<220>

<223> Based on Homo sapiens

<400> 61

Gly Cys Gly Tyr Gly Arg Gly Asp Ser Pro Gly  
1 5 10

<210> 62

<211> 10

<212> PRT

<213> Artificial Sequence

<220>

<223> Based on Homo sapiens

<221> MOD\_RES

<222> 1

<223> Xaa=acetylated Gly

<400> 62  
Xaa Cys Gly Tyr Gly Arg Gly Asp Ser Pro  
1 5 10

<210> 63  
<211> 13  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<400> 63  
Gly Asp Gly Ser Gly Tyr Gly Arg Gly Asp Ser Pro Gly  
1 5 10

<210> 64  
<211> 9  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<400> 64  
Gly Cys Gly Tyr Gly Arg Gly Asp Ser  
1 5

<210> 65  
<211> 14  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<400> 65  
Gly Lys Lys Lys Lys Gly Cys Tyr Lys Asn Arg Asp Cys Gly  
1 5 10

<210> 66  
<211> 9  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<400> 66  
Gly Cys Tyr Lys Asn Arg Asp Cys Gly  
1 5

<210> 67



<211> 13  
 <212> PRT  
 <213> Artificial Sequence

<220>  
 <223> Based on Homo sapiens

<400> 67  
 Gly Cys Cys Gly His His His His His Gly Cys Cys Gly  
 1 5 10

<210> 68  
 <211> 11  
 <212> PRT  
 <213> Artificial Sequence

<220>  
 <223> Based on Homo sapiens

<400> 68  
 Gly Cys Gly Tyr Gly Arg Asp Gly Ser Pro Gly  
 1 5 10

<210> 69  
 <211> 156  
 <212> PRT  
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<220>  
 <223> Based on Homo sapiens

<400> 69  
 Met Gly Ser Ser His His His His His His Ser Ser Gly Leu Val Pro  
 1 5 10 15  
 Arg Gly Ser His Met Lys Asp Pro Lys Arg Leu Tyr Arg Ser Arg Lys  
 20 25 30  
 Leu Pro Val Glu Leu Glu Ser Ser Ser His Pro Ile Phe His Arg Gly  
 35 40 45  
 Glu Phe Ser Val Cys Asp Ser Val Ser Val Trp Val Gly Asp Lys Thr  
 50 55 60  
 Thr Ala Thr Asp Ile Lys Gly Lys Glu Val Met Val Leu Gly Glu Val  
 65 70 75 80  
 Asn Ile Asn Asn Ser Val Phe Lys Gln Tyr Phe Phe Glu Thr Lys Cys  
 85 90 95  
 Arg Asp Pro Asn Pro Val Asp Ser Gly Cys Arg Gly Ile Asp Ser Lys  
 100 105 110  
 His Trp Asn Ser Tyr Cys Thr Thr Thr His Thr Phe Val Lys Ala Leu  
 115 120 125  
 Thr Met Asp Gly Lys Gln Ala Ala Trp Arg Phe Ile Arg Ile Asp Thr  
 130 135 140  
 Ala Cys Val Cys Val Leu Ser Arg Lys Ala Val Arg  
 145 150 155

<210> 70  
 <211> 432

<212> DNA  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<400> 70  
gaattcccat ggcatatgaa agacccgaaa cgtctgtacc gttctcgtaa actgcccggtg 60  
gaactcgaga gctcttccca cccgattttc catcgtggcg agttctccgt gtgtgactct 120  
gtctctgtat gggtaggcga taaaaccact gccactgata tcaaaggcaa agagggtgatg 180  
gtgctgggag aagtaaacaat taacaactct gtattcaaac agtacttctt cgaaactaag 240  
tgccgtgacc cgaacccggt agactctggg tgctcgggca tcgattctaa aactggaac 300  
tcttactgca ccactactca cactttcggt aaagcgttga ctatggatgg taaacaggct 360  
gcctggcggt tcatccgtat cgatactgca tgcgtgtgtg tactgtcccg taaagctgtt 420  
cgtaaggat cc 432

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<220>  
<223> Based on Homo sapiens

<221> MOD\_RES  
<222> 5  
<223> Xaa=bAla

<400> 71  
Gly Cys Gly Lys Xaa Phe Ala Lys Leu Ala Ala Arg Leu Tyr Arg Lys  
1 5 10 15  
Ala

<210> 72  
<211> 5  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Based on Homo sapiens

<221> VARIANT  
<222> (1)...(5)  
<223> Xaa is any amino acid

<400> 72  
Xaa Xaa Xaa Xaa Tyr  
1 5

<210> 73  
<211> 5  
<212> PRT  
<213> Artificial Sequence

<220>

<223> based on Homo sapiens

<400> 73

Gly Lys Lys Lys Lys  
1 5

<210> 74

<211> 7

<212> PRT

<213> Artificial Sequence

<220>

<223> based on Homo sapiens

<400> 74

Gly Arg Gly Asp Ser Pro Gly  
1 5

<210> 75

<211> 11

<212> PRT

<213> Artificial Sequence

<220>

<223> Based on Homo sapiens

<400> 75

Gly Cys Asn Asn Arg Gly Asp Asn Asn Cys Gly  
1 5 10